

Claims

What is claimed is:

1. A method for deprotecting a Fmoc protected amino group, said method comprising treating in a suitable medium the protected amino group with a base in the presence of a thiol compound to yield a deprotected amino group.
2. The method of Claim 1 wherein said base is selected from the group consisting of 1,8-diazabicyclo[5.4.0]undec-7-ene, pyridine, triethylamine, lutidine, diisopropylethylamine, piperidine, 1,5-diazabicyclo[4.3.0]non-5-ene and mixtures thereof.
3. The method of Claim 1 wherein the thiol compound is bound to a solid support or is in solution.
4. The method of Claim 3 wherein the thiol compound is bound to a solid support.
5. The method of Claim 3 wherein the thiol compound is in solution.
6. The method of Claim 1 wherein the thiol compound is aliphatic.
7. The method of Claim 5 wherein the thiol compound comprises a thiol group attached to said compound by a methylene group.
8. The method of Claim 1 wherein the thiol compound is selected from the group consisting of octane thiol, benzyl mercaptan, N-(2-mercaptop ethyl)aminomethyl polystyrene resin, hexane thiol, cyclohexylmethane thiol, cyclohexane thiol and thiophenol.
9. The method of Claim 8 wherein the medium is selected from THF, methanol, isopropanol, dioxane, toluene, acetonitrile, hexanes, pyridine, benzene or mixtures thereof.
10. The method of Claim 1 wherein the medium is selected from the group consisting of tetrahydrofuran, dioxane, toluene, dimethylformamide, dimethylsulfoxide, dimethyl acetamide, dichloromethane, N-methyl pyrrolidinone, methanol, isopropanol, acetonitrile, hexanes, pyridine, benzene, a pure thiol and mixtures thereof.
11. The method of Claim 1 wherein the medium has a boiling point below about 120°C.
12. The method of Claim 1 wherein the Fmoc protected amino group is used in an amount equal to about 1 equivalents, the base is used in an amount equal to about 0.1 to 0.5

- equivalents and the thiol compound is used in an amount equal to about 5 to 15 equivalents.
13. The method of Claim 12 wherein the base is used in an amount equal to about 0.1 to 0.25 equivalents and the thiol compound is used in an amount equal to about 5 to 10 equivalents.
  14. The method of Claim 1 wherein the base is 1,8-diazabicyclo[5.4.0]undec-7-ene and the thiol compound is 1-octanetiol.
  15. A method for deprotecting a Fmoc protected amino group having the formula Fmoc-NR<sup>1</sup>R<sup>2</sup>, said method comprising treating in a suitable medium the protected amino group with a base in the presence of a thiol compound to yield a deprotected amino group having the Formula HNR<sup>1</sup>R<sup>2</sup>; wherein R<sup>1</sup> and R<sup>2</sup> are moieties that bind to an amino group to form a stable compound.
  16. The method of Claim 15 wherein said base is selected from the group consisting of 1,8-diazabicyclo[5.4.0]undec-7-ene, pyridine, triethylamine, lutidine, diisopropylethylamine, piperidine, 1,5-diazabicyclo[4.3.0]non-5-ene and mixtures thereof.
  17. The method of Claim 15 wherein the thiol compound is bound to a solid support or is in solution.
  18. The method of Claim 17 wherein the thiol compound is selected from the group consisting of octane thiol, benzyl mercaptan, N-(2-mercaptop ethyl)aminomethyl polystyrene resin, hexane thiol, cyclohexylmethane thiol, cyclohexane thiol and thiophenol.
  19. The method of Claim 15 wherein the medium is selected from the group consisting of tetrahydrofuran, dioxane, toluene, dimethylformamide, dimethylsulfoxide, dimethyl acetamide, dichloromethane, N-methyl pyrrolidinone, methanol, isopropanol, acetonitrile, hexanes, pyridine, benzene, a pure thiol and mixtures thereof.
  20. The method of Claim 15 wherein R<sup>1</sup> and R<sup>2</sup> are selected from the group consisting of optionally substituted alkyls, optionally substituted aryls, optionally substituted heteroaryls and optionally substituted alkoxy groups.

21. The method of Claim 20 wherein R<sup>1</sup> and R<sup>2</sup> are selected from the group consisting of 2-hydroxy-1-hydroxymethyl-2-phenyl-ethylamino, 2-hydroxy-1-hydroxymethyl-3-methyl-pentylamino, 1-benzyl-2-hydroxy-ethylamino, 1-hydroxymethyl-3-methyl-butylamino, 4-amino-piperidine-1-carboxylic acid ethyl ester, 2-acetyl-amino-ethylamino, 2-diethylamino-ethylamino, 2-(2-hydroxy-ethoxy)-ethylamino, 3-diethylamino-propylamino, 3-hydroxy-propylamino, 6-hydroxy-hexylamino, 3-imidazol-1-yl-propylamino, 2-(4-sulfamoyl-phenyl)-ethylamino, 3-(4-methyl-piperazin-1-yl)-propylamino, 2-dimethylamino-1-methyl-ethylamino, 2-[bis-(2-hydroxy-ethyl)-amino]-ethylamino, 1-carbamoyl-2-phenyl-ethylamino, 2-dibutylamino-ethylamino, 5-hydroxy-4,4-dimethyl-pentylamino, 3-dimethylamino-2,2-dimethyl-propylamino, 2-(butyl-ethyl-amino)-ethylamino, 2-diisobutylamino-ethylamino, 2-hydroxy-butylamino, 3-hydroxy-2,2-dimethyl-propylamino, cyclohexylamino, (5-hydroxy-1,3,3-trimethyl-cyclohexylmethyl)-amino, 1,2,3,4-tetrahydro-naphthalen-1-ylamino, cyclooctylamino, 3-(2-oxo-pyrrolidin-1-yl)-propylamino, indan-1-ylamino, (tetrahydro-furan-2-ylmethyl)-amino, 2-(1h-indol-3-yl)-ethylamino, (benzo[1,3]dioxol-5-ylmethyl)-amino, 3-morpholin-4-yl-propylamino, 2-pyridin-2-yl-ethylamino, 2-hydroxy-1-methyl-2-phenyl-ethylamino, 1-methoxy-ethylamino, 1-methyl-3-phenyl-propylamino, 3-diethylamino-1-methyl-propylamino, benzylamino, 2-fluoro-benzylamino, 2-methoxy-benzylamino, 3-trifluoromethyl-benzylamino, 2-phenylamino-ethylamino, 2-methoxy-ethylamino, phenethylamino, 2-(2-methoxy-phenyl)-ethylamino, 2-(3,4-dimethoxy-phenyl)-ethylamino, 2-(4-chloro-phenyl)-ethylamino, 2-(4-methoxy-phenyl)-ethylamino, 2-(4-hydroxy-phenyl)-ethylamino, 3,3-diphenyl-propylamino, 2,5-dimethyl-benzylamino, 2-trifluoromethyl-benzylamino, butylamino, 1,2-diethyl-pyrazolidin-4-ylamino, 3-methoxy-propylamino, 2-diisopropylamino-ethylamino, 1-isopropyl-2-methyl-propylamino, 3-m-tolylamino-pentylamino, 3-butoxy-propylamino, 1-(4-fluoro-phenyl)-ethylamino, 1-methoxymethyl-propylamino, 2,3-dimethoxy-benzylamino, 2,4-dimethoxy-benzylamino, 2-(2-chloro-6-fluoro-benzylsulfanyl)-ethylamino, 2,6-dimethoxy-benzylamino, 3,5-dimethoxy-benzylamino, 2-phenoxy-ethylamino, 1-benzyl-pyrrolidin-3-ylamino, 2-(2,3-dimethoxy-phenyl)-ethylamino, 2-(2,5-dimethoxy-phenyl)-ethylamino, 2-(2-ethoxy-phenyl)-ethylamino, 2-(3,5-dimethoxy-phenyl)-ethylamino, 2-(4-ethoxy-phenyl)-ethylamino, 2-(4-trifluoromethoxy-phenyl)-ethylamino, 2-hydroxy-

1,2-diphenyl-ethylamino, 2-hydroxy-1,2-diphenyl-ethylamino, 2-(2-hydroxymethyl-phenylsulfanyl)-benzylamino, 2-(3-fluoro-phenyl)-ethylamino, 2-(2-amino-phenyl)-benzylamino, 2-(2-fluoro-phenyl)-ethylamino, 4-amino-benzylamino, 2-(3,4-dimethoxy-phenyl)-ethylamino, 1,2-dihydroxy-2-(4-methylsulfanyl-phenyl)-ethylamino, 2-hydroxy-cyclohexylamino, and 3-(methyl-phenyl-amino)-propylamino.

22. A method for deprotecting a Fmoc protected amino group, said method comprising treating in a suitable medium the protected amino group with a base in the presence of a thiol compound having the formula  $R^3\text{-SH}$  to yield a deprotected amino group; where  $R^3$  is selected from the group consisting of aliphatic, aryl, heteroaryl and heterocycloalkyl moieties.
23. The method of Claim 22 wherein said base is selected from the group consisting of 1,8-diazabicyclo[5.4.0]undec-7-ene, pyridine, triethylamine, lutidine, diisopropylethylamine, piperidine, 1,5-diazabicyclo[4.3.0]non-5-ene and mixtures thereof.
24. The method of Claim 22 wherein the thiol compound is bound to a solid support or is in solution.
25. The method of Claim 24 wherein the thiol compound is bound to a solid support.
26. The method of Claim 24 wherein the thiol compound is in solution.
27. The method of Claim 22 wherein  $R^3$  is an aliphatic group.
28. The method of Claim 27 wherein the thiol compound comprises a thiol group attached to said compound by a methylene group.
29. The method of Claim 22 wherein  $R^3$  is an aryl group.
30. The method of Claim 22 wherein  $R^3$  is a heteroaryl group.
31. The method of Claim 22 wherein  $R^3$  is a heterocycloalkyl group.
32. The method of Claim 22 wherein the thiol compound is selected from the group consisting of octane thiol, benzyl mercaptan, N-(2-mercaptop ethyl)aminomethyl polystyrene resin, hexane thiol, cyclohexylmethane thiol, cyclohexane thiol and thiophenol.

33. The method of Claim 22 wherein the medium is selected from the group consisting of tetrahydrofuran, dioxane, toluene, dimethylformamide, dimethylsulfoxide, dimethyl acetamide, dichloromethane, N-methyl pyrrolidinone, methanol, isopropanol, acetonitrile, hexanes, pyridine, benzene, a pure thiol and mixtures thereof.

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